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☐ 1. Document ID: US 6610866 B2

L1: Entry 1 of 23 File: USPT Aug 26, 2003

US-PAT-NO: 6610866
DOCUMENT-IDENTIFIER: US 6610866 B2
**** See image for Certificate of Correction ****

TITLE: Stereoselective synthesis of 24-hydroxylated compounds useful for the preparation of aminosterols, vitamin D analogs, and other compounds

DATE-ISSUED: August 26, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Kinney; William A.	Richboro	PA		
Jones; Steven	West Chester	PA		
Zhang; Xuehai	E. Norriton	PA		
Rao; Meena N.	Lansdale	PA		
Bulliard; Michel	Angers			FR
Meckler; Harold	Delmar	NY		
Lee; Nancy	Foxboro	MA		

US-CL-CURRENT: 552/502; 552/521, 552/610, 552/611, 552/623, 552/633, 552/634, 552/636, 552/637, 568/579, 568/583, 568/591

ABSTRACT:

A method is described for stereoselectively reducing an unsaturated alkyl ketone substituent attached to a fused ring base. In this method, the unsaturated alkyl ketone reacts with a chiral oxazaborolidine reagent. This reaction stereoselectively reduces the unsaturated alkyl ketone to an unsaturated alkyl alcohol. The unsaturated alkyl alcohol can be further reduced, if desired, to produce a saturated alkyl alcohol. The fused ring base can be, for example, a steroid ring base or a base of a vitamin D analog. The process in accordance with the invention can be used with an alkeneone substituent (e.g., a 22-ene-24-one substituent) or an alkyneone substituent (e.g., a 22-yne-24-one substituent) on a steroid ring base to make squalamine or other useful aminosterol compounds and intermediates for making aminosterol compounds.

22 Claims, 29 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 19

☐ 2. Document ID: US 6596712 B2

L1: Entry 2 of 23 File: USPT Jul 22, 2003

US-PAT-NO: 6596712
DOCUMENT-IDENTIFIER: US 6596712 B2

TITLE: Treatment of carcinomas using squalamine in combination with other anti-cancer agents or modalities

DATE-ISSUED: July 22, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Zasloff; Michael	Merion Station	PA		
Williams; Jon	Robbinsville	NJ		
Sokoloff; Mitchell H.	Charlottesville	VA		

US-CL-CURRENT: 514/171; 514/182

ABSTRACT:

A method for treating a tumor includes a first treatment procedure using a conventional cancer treatment technique, and a second treatment procedure which includes administering an effective amount of squalamine. Synergistically effective amounts are preferred. The first treatment procedure may be a treatment with one or more conventional cytotoxic chemical compounds. As examples, the cytotoxic chemical compound may be a nitrosourea (such as BCNU), cyclophosphamide, doxorubicin, 5-fluorouracil, paclitaxel and its derivatives, cisplatin or other platinum containing cancer treating agents. Alternatively, the first treatment may be a treatment with one or more conventional anti-hormonal agents. As examples, the anti-hormonal agents may be a LHRH (luteinizing hormone releasing hormone) agonist or an anti-androgen such as flutamide, biclutamide, nilutamide, and luprolide. These conventional cancer treatments compounds and the squalamine may be administered by any suitable route. The first treatment procedure may take place prior to the second treatment procedure, after the second treatment procedure, or the two treatment procedures may take place simultaneously. As an alternative, the first treatment procedure may be a conventional radiation treatment regimen. As a further alternative the first treatment procedure may be a combination of treatment with one or more conventional cytotoxic chemical compounds and a conventional radiation treatment regimen.

14 Claims, 22 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 17

☐ 3. Document ID: US 6262283 B1

L1: Entry 3 of 23 File: USPT Jul 17, 2001

US-PAT-NO: 6262283
DOCUMENT-IDENTIFIER: US 6262283 B1

TITLE: Stereoselective synthesis of 24-hydroxylated compounds useful for the preparation of aminosterols, vitamin D analogs, and other compounds

DATE-ISSUED: July 17, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Kinney; William A.	Richboro	PA		
Jones; Steven	West Chester	PA		
Zhang; Xuehai	E. Norriton	PA		
Rao; Meena N.	Lansdale	PA		
Bulliard; Michel	Angers			FR
Meckler; Harold	Delmar	NY		
Lee; Nancy	Foxboro	MA		

US-CL-CURRENT: 552/521

ABSTRACT:

A method is described for stereoselectively reducing an unsaturated alkyl ketone substituent attached to a fused ring base. In this method, the unsaturated alkyl ketone reacts with a chiral oxazaborolidine reagent. This reaction stereoselectively reduces the unsaturated alkyl ketone to an unsaturated alkyl alcohol. The unsaturated alkyl alcohol can be further reduced, if desired, to produce a saturated alkyl alcohol. The fused ring base can be, for example, a steroid ring base or a base of a vitamin D analog. The process in accordance with the invention can be used with an alkeneone substituent (e.g., a 22-ene-24-one substituent) or an alkyneone substituent (e.g., a 22-yne-24-one substituent) on a steroid ring base to make squalamine or other useful aminosterol compounds and intermediates for making aminosterol compounds.

11 Claims, 29 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 19

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 4. Document ID: US 6147060 A

L1: Entry 4 of 23 File: USPT Nov 14, 2000

US-PAT-NO: 6147060
DOCUMENT-IDENTIFIER: US 6147060 A

TITLE: Treatment of carcinomas using squalamine in combination with other anti-cancer agents

DATE-ISSUED: November 14, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Zasloff; Michael	Merion Station	PA		
Williams; Jon	Robbinsville	NJ		

US-CL-CURRENT: 514/110; 424/649, 514/171, 514/34, 514/589

ABSTRACT:

A method for treating a tumor includes a first treatment procedure using a conventional cancer treatment technique, and a second treatment procedure which includes administering an effective amount of squalamine. The first treatment procedure may be a treatment with one or more conventional cytotoxic chemical compounds. As examples, the cytotoxic chemical compound may be a nitrosourea (such as BCNU), cyclophosphamide, adriamycin, 5-fluorouracil, paclitaxel and its derivatives, cisplatin or other platinum containing cancer treating agents. The cytotoxic chemical compound and the squalamine may be administered by any suitable route. The first treatment procedure may take place prior to the second treatment procedure, after the second treatment procedure, or the two treatment procedures may take place simultaneously. In one example, the first treatment procedure (e.g., a one time intravenous dosage of BCNU) is completed before the second treatment procedure with squalamine begins. As an alternative, the first treatment procedure may be a conventional radiation treatment regimen.

20 Claims, 15 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 14

☐ 5. Document ID: US 6143738 A

L1: Entry 5 of 23 File: USPT Nov 7, 2000

US-PAT-NO: 6143738
DOCUMENT-IDENTIFIER: US 6143738 A

TITLE: Therapeutic uses for an aminosterol compound

DATE-ISSUED: November 7, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Zasloff; Michael	Merion Station	PA		

US-CL-CURRENT: 514/181; 514/178, 514/182

ABSTRACT:

A pharmaceutical composition includes, as an active ingredient, a compound according to formula 1436 as shown in FIG. 1, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier or excipient. Various pharmaceutical products may be produced including this pharmaceutical composition. Such pharmaceutical products may be used for the treatment of cancers, such as leukemia; inflammation; arthritis; and viruses, such as HSV. Methods for using the pharmaceutical compositions also are described. In these methods, various diseases are treated or other body functions are activated or inhibited by administering an effective amount of the pharmaceutical composition. For example, inflammation, arthritis, herpes simplex virus, melanoma, and leukemia may be treated by administering an effective amount of the pharmaceutical compositions. Viral replication, weight gain, and growth factor production can be inhibited by administering an effective amount of these pharmaceutical compositions. Appetite can be suppressed by administering an effective amount of the pharmaceutical compositions, and a diuretic effect can be produced.

16 Claims, 31 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 25

☐ 6. Document ID: US 5994336 A

L1: Entry 6 of 23 File: USPT Nov 30, 1999

US-PAT-NO: 5994336
DOCUMENT-IDENTIFIER: US 5994336 A

TITLE: Method of inhibiting proliferation of cells by administering an aminosterol compound

DATE-ISSUED: November 30, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Zasloff; Michael	Merion Station	PA		
Shinnar; Ann	Teaneck	NJ		
Kinney; William	Churchville	PA		
Rao; Meena	Horsham	PA		

US-CL-CURRENT: 514/182

ABSTRACT:

A method of inhibiting the proliferation of a wide variety of cells is described. This method includes administering an effective amount of a compound having the following structure: ##STR1## or a pharmaceutically acceptable salt thereof. The proliferation of the following types of cells can be inhibited by this method: lymphocytes, fibroblasts, epithelial cells, smooth muscle cells, and human ovarian cancer cells.

8 Claims, 27 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 20

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWMC | Drawi D

☐ 7. Document ID: US 5874597 A

L1: Entry 7 of 23 File: USPT Feb 23, 1999

US-PAT-NO: 5874597
DOCUMENT-IDENTIFIER: US 5874597 A

TITLE: Certain aminosterol compounds and pharmaceutical compositions including these compounds

DATE-ISSUED: February 23, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Jones; Steven	West Chester	PA		

US-CL-CURRENT: 552/521

ABSTRACT:

Aminosterol compounds are described that are useful as inhibitors of the sodium/proton exchanger (NHE). Methods of using such aminosterols compounds are also enclosed, including those employing compounds that are inhibitors of a spectrum of NHEs as well as those using compounds that are inhibitors of only one specific NHE. Advantageous screening techniques and assays for evaluating a compound's therapeutic activity are also disclosed.

5 Claims, 27 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 20

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWMC | Drawi D

☐ 8. Document ID: US 5856535 A

L1: Entry 8 of 23 File: USPT Jan 5, 1999

US-PAT-NO: 5856535
DOCUMENT-IDENTIFIER: US 5856535 A

TITLE: Aminosterol ester compounds

DATE-ISSUED: January 5, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Zasloff; Michael	Merion Station	PA		
Kinney; William	Richboro	PA		
Jones; Steven	West Chester	PA		

US-CL-CURRENT: 552/521; 540/106

ABSTRACT:

An aminosterol compound according to the following formula: ##STR1## wherein: R.sub.1 is a member selected from the group of: ##STR2## R.sub.2 is H or OH; R.sub.3 is H or OH;

R.sub.4 is H or OH; and

R.sub.5 is a C.sub.1 to C.sub.12 alkyl group.

Preferably, R.sub.5 is a C.sub.1 to C.sub.6 alkyl group, and a methyl group is particularly preferred.

15 Claims, 0 Drawing figures
Exemplary Claim Number: 1

☐ 9. Document ID: US 5847172 A

L1: Entry 9 of 23 File: USPT Dec 8, 1998

US-PAT-NO: 5847172
DOCUMENT-IDENTIFIER: US 5847172 A
**** See image for Certificate of Correction ****

TITLE: Certain aminosterol compounds and pharmaceutical compositions including these compounds

DATE-ISSUED: December 8, 1998

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Zasloff; Michael	Merion Station	PA		
Shinnar; Ann	Teaneck	NJ		
Kinney; William	Churchville	PA		
Jones; Steven	West Chester	PA		

US-CL-CURRENT: 552/521

ABSTRACT:

Aminosterol compounds are described that are useful as inhibitors of the

sodium/proton exchanger (NHE). Methods of using such aminosterols compounds are also enclosed, including those employing compounds that are inhibitors of a spectrum of NHEs as well as those using compounds that are inhibitors of only one specific NHE. Advantageous screening techniques and assays for evaluating a compound's therapeutic activity are also disclosed.

10 Claims, 27 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 20

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 10. Document ID: US 5840936 A

L1: Entry 10 of 23 File: USPT Nov 24, 1998

US-PAT-NO: 5840936
DOCUMENT-IDENTIFIER: US 5840936 A

TITLE: Aminosterol compounds useful as inhibitors of the sodium/proton exchanger (NHE)

DATE-ISSUED: November 24, 1998

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Zasloff; Michael	Merion Station	PA		
Shinnar; Ann	Teaneck	NJ		
Rao; Meena	Horsham	PA		
Kinney; William	Churchville	PA		

US-CL-CURRENT: 552/521; 558/29

ABSTRACT:

Aminosterol compounds are described that are useful as inhibitors of the sodium/proton exchanger (NHE). Methods of using such aminosterols compounds are also enclosed, including those employing compounds that are inhibitors of a spectrum of NHEs as well as those using compounds that are inhibitors of only one specific NHE. Advantageous screening techniques and assays for evaluating a compound's therapeutic activity are also disclosed.

10 Claims, 27 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 20

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 11. Document ID: US 5840740 A

L1: Entry 11 of 23 File: USPT Nov 24, 1998

US-PAT-NO: 5840740
DOCUMENT-IDENTIFIER: US 5840740 A

TITLE: Aminosterol compounds and a method of treating infection using the aminosterol compounds

DATE-ISSUED: November 24, 1998

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Zasloff; Michael	Merion Station	PA		
Shinnar; Ann	Teaneck	NJ		
Kinney; William	Churchville	PA		
Rao; Meena	Horsham	PA		

US-CL-CURRENT: 514/182; 552/521

ABSTRACT:

Disclosed are aminosterol compounds 1360 and 1361: ##STR1## which can be obtained in isolated or purified form from the liver of the dogfish shark.

16 Claims, 27 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 20

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWMC | Draw D

☐ 12. Document ID: US 5795885 A

L1: Entry 12 of 23 File: USPT Aug 18, 1998

US-PAT-NO: 5795885
DOCUMENT-IDENTIFIER: US 5795885 A
**** See image for Certificate of Correction ****

TITLE: Method of inhibiting profileration of cells by administering an aminosterol compound

DATE-ISSUED: August 18, 1998

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Zasloff; Michael	Merion Station	PA		
Shinnar; Ann	Teaneck	NJ		
Kinney; William	Churchville	PA		
Anderson; Mark	Norristown	PA		
Williams; Jon	Robbinsville	NJ		

McLane; Michael Lansdale PA

US-CL-CURRENT: 514/182

ABSTRACT:

Aminosterol compounds are described that are useful as inhibitors of the sodium/proton exchanger (NHE). Methods of using such aminosterols compounds are also disclosed, including those employing compounds that are inhibitors of a spectrum of NHEs as well as those using compounds that are inhibitors of only one specific NHE. Advantageous screening techniques and assays for evaluating a compound's therapeutic activity are also disclosed.

5 Claims, 27 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 20

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWMC | Draw D

☐ 13. Document ID: US 5792635 A

L1: Entry 13 of 23 File: USPT Aug 11, 1998

US-PAT-NO: 5792635
DOCUMENT-IDENTIFIER: US 5792635 A

TITLE: Method of inhibiting the sodium/proton exchanger NHE3 and method of inhibiting growth by administering squalamine

DATE-ISSUED: August 11, 1998

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Zasloff; Michael	Merion Station	PA		

US-CL-CURRENT: 435/184; 514/182, 552/521

ABSTRACT:

Aminosterol compounds are described that are useful as inhibitors of the sodium/proton exchanger (NHE). Methods of using such aminosterols compounds are also disclosed, including those employing compounds that are inhibitors of a spectrum of NHEs as well as those using compounds that are inhibitors of only one specific NHE. Advantageous screening techniques and assays for evaluating a compound's therapeutic activity are also disclosed.

8 Claims, 27 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 20

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWMC | Draw D

☐ 14. Document ID: US 5763430 A

L1: Entry 14 of 23 File: USPT Jun 9, 1998

US-PAT-NO: 5763430
DOCUMENT-IDENTIFIER: US 5763430 A

TITLE: Method of treating a viral infection by administering a steroid compound

DATE-ISSUED: June 9, 1998

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Zasloff; Michael	Merion Station	PA		

US-CL-CURRENT: 514/169; 514/170, 514/171, 514/172, 514/173, 514/174, 514/175, 514/176, 514/177, 514/178, 514/179, 514/180, 514/181, 514/182

ABSTRACT:

A method of treating a viral infection includes administering an effective amount of a compound having the following structure: ##STR1## or a pharmaceutically acceptable salt thereof. This compound treats the viral infection by suppressing the growth of a viral target cell. As one specific example, this compound may be used to treat HIV infection.

5 Claims, 27 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 20

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw D

☐ 15. Document ID: US 5733899 A

L1: Entry 15 of 23 File: USPT Mar 31, 1998

US-PAT-NO: 5733899
DOCUMENT-IDENTIFIER: US 5733899 A

TITLE: Method for treating infection using steroid based pharmaceutical compositions

DATE-ISSUED: March 31, 1998

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Frye; Leah L.	Ravena	NY		
Zasloff; Michael A.	Merion Station	PA		
Kinney; William A.	Churchill	PA		

Moriarty; Robert	Oak Park	IL
Collins; Delwood C.	Lexington	KY

US-CL-CURRENT: 514/169; 514/172, 514/176, 514/182

ABSTRACT:

A method of treating a bacterial or fungal infection in a patient by administering an effective amount of a compound of Formula (III): ##STR1## wherein, the substituents are as defined in the specification.

9 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWMC | Draw D

☐ 16. Document ID: US 5721226 A

L1: Entry 16 of 23 File: USPT Feb 24, 1998

US-PAT-NO: 5721226
DOCUMENT-IDENTIFIER: US 5721226 A
**** See image for Certificate of Correction ****

TITLE: Method for inhibiting angiogenesis using squalamine and squalamine steroid derivatives

DATE-ISSUED: February 24, 1998

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Frye; Leah L.	Ravena	NY		
Zasloff; Michael A.	Merion Station	PA		
Kinney; William A.	Churchill	PA		
Moriarty; Robert	Oak Park	IL		
Collins; Delwood C.	Lexington	KY		

US-CL-CURRENT: 514/169; 514/170, 514/171, 514/172, 514/173, 514/174, 514/175, 514/176, 514/177, 514/178, 514/179, 514/180, 514/181, 514/182

ABSTRACT:

A method of inhibiting angiogenesis in a patient includes administering to the patient an effective amount of squalamine or a pharmaceutically acceptable salt of squalamine. Alternatively, a compound according to the following Formula (III) (or a pharmaceutically acceptable salt thereof) can be administered: ##STR1## wherein Z.sub.5 is .alpha.-H or .beta.-H; each of the substituents Z.sub.7 is selected from the group of --H, --OH, --SH, --NH.sub.2, --F, --(C.sub.1 -C.sub.3)-alkyl, and --(C.sub.1 -C.sub.3)-alkoxy; and one of the substituents Z.sub.12 is --H and the other is --H or --OH. X' is a polyamine side chain of the formula --X.sub.1 --(CH.sub.2).sub.p --X.sub.2 --(CH.sub.2).sub.q --N(R.sup.II)(R.sup.III), wherein one of X.sub.1 and X.sub.2 is --N(R.sup.IV) and the other is selected from the group of

--N(R.sup.V), --O, --S, and --CH.sub.2. R.sup.IV and R.sup.V are each --H or --(C.sub.1 -C.sub.3)-alkyl, p and q are each an integer of from 0 to 5 (but both are not 0). R.sup.II and R.sup.III in the formula for X' are each --H, --(C.sub.1 -C.sub.3)-alkyl, or --(CH.sub.2).sub.r --N(R.sub.10)(R.sub.11) wherein r is an integer from 2 to 5 and R.sub.10 and R.sub.11 are each --H or --(C.sub.1 -C.sub.3)-alkyl. R' in Formula (III) is --H or --(C.sub.1 -C.sub.3)-alkyl, and Y' is --(C.sub.1 -C.sub.10)-alkyl, unsubstituted or substituted with --CO.sub.2 H, --OH, --NH--SO.sub.2 CF.sub.3, --SO.sub.3 H, --PO.sub.3 H.sub.2, --OSO.sub.3 H, --CF.sub.3, --F, ##STR2##

12 Claims, 0 Drawing figures
Exemplary Claim Number: 1

☐ 17. Document ID: US 5637691 A

L1: Entry 17 of 23 File: USPT Jun 10, 1997

US-PAT-NO: 5637691
DOCUMENT-IDENTIFIER: US 5637691 A
**** See image for Certificate of Correction ****

TITLE: Steroid derivatives, pharmaceutical compositions containing them, and their use as antibiotics or disinfectants

DATE-ISSUED: June 10, 1997

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Frye; Leah L.	Ravena	NY		
Zasloff; Michael A.	Merion Station	PA		
Kinney; William A.	Churchville	PA		
Moriarty; Robert	Oak Park	IL		

US-CL-CURRENT: 540/106; 540/108, 552/506, 552/507, 552/521, 552/524, 552/540, 552/542, 552/544, 552/548, 552/550, 552/551, 552/552, 552/554, 552/557, 552/559, 552/582, 552/583, 552/584, 552/599, 552/609

ABSTRACT:

Compounds having a broad range of antimicrobial activity generally have a structure including asteroid nucleus with a cationic, preferably polyamine, side chain (X) and an anionic side chain (Y). The invention is also directed to compounds of the Formula III: ##STR1## preferably where the steroid ring nucleus is saturated; the steroid ring substituent Z.sub.5 is .alpha.-H; one Z.sub.7 is .beta.-H and the other is .alpha.-H or .alpha.-OH; both substituents Z.sub.12 are hydrogen; X' is a polyamine side chain of the formula --NH--(CH.sub.2).sub.p --NH--(CH.sub.2).sub.q --N(R.sup.II)(R.sup.III) where p and q are each independently 3 or 4, and R.sup.II and R.sup.III are each independently hydrogen or methyl; R' is methyl; and Y' is (C.sub.1 -C.sub.10)-alkyl substituted with a group such as --CO.sub.2 H or --SO.sub.3 H.

11 Claims, 0 Drawing figures
Exemplary Claim Number: 1

☐ 18. Document ID: US 5354934 A

L1: Entry 18 of 23 File: USPT Oct 11, 1994

US-PAT-NO: 5354934
DOCUMENT-IDENTIFIER: US 5354934 A

TITLE: Pulmonary administration of erythropoietin

DATE-ISSUED: October 11, 1994

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Pitt; Colin G.	Thousand Oaks	CA		
Platz; Robert M.	Half Moon Bay	CA		

US-CL-CURRENT: 514/8; 424/499, 424/85.1

ABSTRACT:

Erythropoietin (EPO) can be delivered systemically in therapeutically or prophylactically effective amounts by pulmonary administration using a variety of pulmonary delivery devices, including nebulizers, metered dose inhalers and powder inhalers. Aerosol administration of EPO in accordance with this invention results in significant elevation of red blood cell levels. EPO can be administered in this manner to medically treat or prevent anemia, as well as to treat or prevent other maladies related to erythropoiesis.

21 Claims, 4 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 4

☐ 19. Document ID: US 5136026 A

L1: Entry 19 of 23 File: USPT Aug 4, 1992

US-PAT-NO: 5136026
DOCUMENT-IDENTIFIER: US 5136026 A

TITLE: Process for removing toxins from protein solutions

DATE-ISSUED: August 4, 1992

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Romisch; Jurgen	Marburg			DE
Heimburger; Norbert	Marburg			DE

US-CL-CURRENT: 530/416; 530/380, 530/394, 530/395, 530/412

ABSTRACT:

A process for removing toxins from solutions of proteins, in which an aqueous solution of a protein which contains a buffer substance, a chelating agent and a detergent is subjected to an ion exchange chromatography, is described.

9 Claims, 0 Drawing figures
Exemplary Claim Number: 1

☐ 20. Document ID: US 4994439 A

L1: Entry 20 of 23 File: USPT Feb 19, 1991

US-PAT-NO: 4994439
DOCUMENT-IDENTIFIER: US 4994439 A

TITLE: Transmembrane formulations for drug administration

DATE-ISSUED: February 19, 1991

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Longenecker; John P.	Mountain View	CA		
Ennis; Richard	Fremont	CA		
Baldwin; Patricia A.	Hayward	CA		
Lee; William A.	Los Altos	CA		

US-CL-CURRENT: 514/3; 424/45, 514/171, 514/2, 514/808, 514/922, 514/947, 514/958, 514/975

ABSTRACT:

Compositions for the administration of protein or peptide drugs across membranes show low toxicity and efficient permeation when the medium is a mixture of a bile salt or fusidate with a nonionic detergent. Various specific compositions are exemplified.

23 Claims, 8 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 8

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw. D

☐ 21. Document ID: US 4608347 A

L1: Entry 21 of 23 File: USPT Aug 26, 1986

US-PAT-NO: 4608347
DOCUMENT-IDENTIFIER: US 4608347 A
**** See image for Certificate of Correction ****

TITLE: Compositions, uses and methods creating reverse micelles for the clarification of biological fluids to obtain undistorted assay of analytes following clarification

DATE-ISSUED: August 26, 1986

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Bernstam; Victor A.	Ann Arbor	MI	48104	

US-CL-CURRENT: 436/175; 436/17, 436/8, 436/825, 516/20, 516/DIG.1, 516/DIG.3, 516/DIG.5

ABSTRACT:

Compositions and methods are provided for clarifying and partitioning aqueous lipid-containing specimens or samples such as lipemic serum and plasma. The compositions contain zwitterionic surfactant and water-immiscible organic solvent for lipids. The components of the compositions are selected such that they are compatible in vitro and, when constituted with aqueous specimens, do not interfere with biological or chemical activity of endogenous and exogenous analytes present in the respective specimens. The methods serve to partition the specimens into discrete aqueous and non-aqueous phases. The phases in turn can be individually assayed with respect to any of various analytes, for diagnostic or other purposes.

22 Claims, 1 Drawing figures
Exemplary Claim Number: 7,16
Number of Drawing Sheets: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw. D

☐ 22. Document ID: US 4560512 A

L1: Entry 22 of 23 File: USPT Dec 24, 1985

US-PAT-NO: 4560512
DOCUMENT-IDENTIFIER: US 4560512 A

TITLE: Derivatives of steroid compounds linked to cyotoxic agents

DATE-ISSUED: December 24, 1985

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Firestone; Raymond A.	Fanwood	NJ		

US-CL-CURRENT: 552/552; 536/6.4, 540/108, 540/112, 552/546, 552/554, 552/555

ABSTRACT:

The present application is concerned with compounds useful as carriers of cytotoxic agents. More particularly it deals with derivatives of steroid compounds having a 5-androstene carbon skeleton and having an oleyl ester at the 3-position and having a 17-carbamyl alkyl substituent which linked to cytotoxic agents for delivery to cancer cells exclusively via the low-density lipoprotein (LDL) pathway.

6 Claims, 0 Drawing figures
Exemplary Claim Number: 1

☐ 23. Document ID: US 4372888 A

L1: Entry 23 of 23 File: USPT Feb 8, 1983

US-PAT-NO: 4372888
DOCUMENT-IDENTIFIER: US 4372888 A

TITLE: Nondenaturing zwitterionic detergents

DATE-ISSUED: February 8, 1983

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Hjelmeland; Leonard M.	Bethesda	MD		

US-CL-CURRENT: 552/550; 510/498, 510/499, 540/110, 564/193

ABSTRACT:

A nondenaturing zwitterionic detergent for proteins which, for example, consists of an effective amount of 3-[(3-chloamidopropyl)dimethylammonio]-1-propanesulfonate (CHAPS). This detergent is of extreme interest in the biological study of proteins due to its nondenaturing characteristic. Other examples of the group may be prepared from different alicyclic compounds, for example, utilizing cholic acid and in others deoxycholic acid and dehydroabietic acid. A process for the preparation of these compounds starts with cholic or the equivalent and from this is prepared the triethylammonium salt in tetrahydrofuran (THF). After the salt is completely dissolved in THF, ethyl chloroformate is added and the flask cooled to 0.degree. C. Then the mixed anhydride which forms is reacted with dimethylaminopropylamine to form the dimethylaminopropyl derivative of a carboxylic acid amide. Finally, the tertiary amine group is reacted with propanesultone to give the sulfobetaine product.

An improved procedure for preparation of these compounds and especially for the

last step (as for CHAPSO) to react the N-(3-dimethylaminopropyl)cholamide with sodium-1-chloro-2-hydroxy-3-propanesulfonate.

6 Claims, 2 Drawing figures
Exemplary Claim Number: 1,6
Number of Drawing Sheets: 2

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(4372888)	23

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Sulfobetaine derivatives of bile acids: nondenaturing surfactants for membrane biochemistry.

Hjelmeland LM, Nebert DW, Osborne JC Jr.

The syntheses of four new sulfobetaine derivatives of bile salts are presented, along with a general set of criteria for useful detergents in membrane biochemistry. Physical properties including the critical micelle concentration, aggregation number, partial specific volume, critical micellar temperature, uv-vis spectrum, and circular dichroism spectrum are examined for the new compounds To examine the interaction of this class of compounds wit macromolecules, one of these (CHAPS) was further studied. Circular dichroism spectra of apolipoprotein C-II were measured in the presence of varying concentrations CHAPS to determine the effect of this compound on secondary structure. Gel-exclusion chromatography and sedimentation equilibrium studies of cytochrome P-450 ir the presence of CHAPS were also performed to establish the ability of this detergent to disaggregate cytochrome P-450 to a monomeric/dimeric state.

PMID: 6869811 [PubMed - indexed for MEDLINE]

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